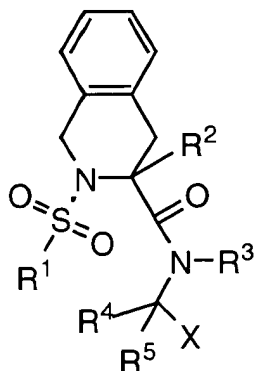


Amendments to the Claims:

---

- E1
1. (Cancelled).
  2. (Cancelled).
  3. (Cancelled).
  4. (Cancelled).
  5. (Cancelled).
  6. (Previously Amended) A compound of Claim 21 wherein Z is C.
  7. (Previously Amended) A compound of Claim 21 wherein B is C, C=C,  
C-C or S.
  8. (Previously Amended) A compound of Claim 21 wherein X is C(O)OR<sup>d</sup>.
  9. (Cancelled).
  10. (Cancelled).
  11. (Previously Amended) A compound of Claim 21 wherein R<sup>5</sup> is H and R<sup>4</sup> is C<sub>1-10</sub> alkyl or Cy-C<sub>1-10</sub>alkyl, wherein alkyl is optionally substituted with one to four substituents selected from phenyl and R<sup>x</sup>, and Cy is optionally substituted with one to four substituents independently selected from R<sup>y</sup>; or R<sup>4</sup>, R<sup>5</sup> and the carbon to which they are attached together form a 3-7 membered mono- or bicyclic carbon only ring.
  12. (Currently amended) A compound of Claim 11 wherein R<sup>4</sup> is phenyl-C<sub>1-3</sub> alkyl, wherein phenyl is optionally substituted with one or two groups selected from R<sup>y</sup>.
  13. (Previously Amended) A compound of Claim 21 having the formula Ib:

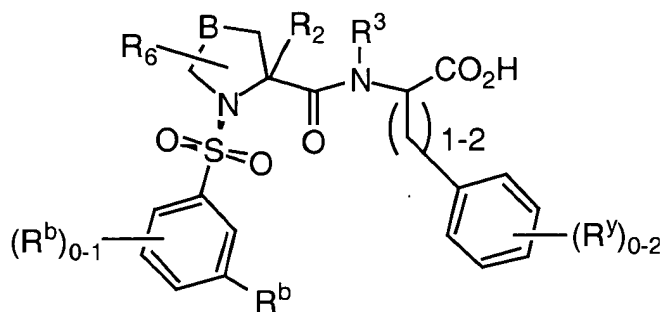


Ib

wherein  $R^2$  is H or  $C_{1-6}$  alkyl, and  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $R^5$  and X are as defined in Claim 21.

61  
14. (Currently Amended) A compound of Claim 13 wherein X is  $CO_2H$ ;  $R^1$  is phenyl substituted at the 3-position optionally having a second substituent, wherein said substituents are independently selected from  $R^b$ ;  $R^2$  is H;  $R^3$  is H or  $C_{1-3}$  alkyl;  $R^4$  is phenyl- $C_{1-3}$ alkyl, wherein phenyl is optionally substituted with one or two groups selected from  $R^Y$ ; and  $R^5$  is H.

15. (Previously Amended) A compound of Claim 21 having the formula Ic:



Ic

wherein  $R^2$  is H or  $C_{1-3}$  alkyl;  $R^6$  is H,  $C_{1-6}$  alkyl, aryl,  $OR^d$ ,  $SR^d$ ,  $NR^dR^e$ , or  $NR^dC(O)R^e$ ; B is S, C=C, C or C-C;  $R^3$  is H or  $C_{1-6}$ alkyl,  $R^b$  and  $R^Y$  are as defined in Claim 21.

16. (Original) A compound of Claim 15 wherein B is C and R<sup>b</sup> is halogen, C<sub>1-10</sub>alkoxy, cyano, or trifluoromethyl.

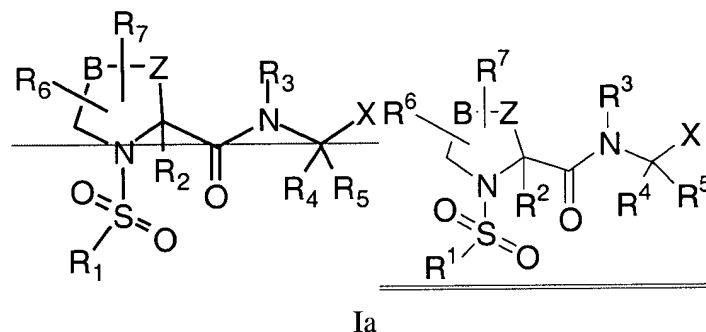
17. (Cancelled).

18. (Cancelled).

19. (Cancelled).

20. (Previously Amended) A pharmaceutical composition which comprises a compound of Claim 21 and a pharmaceutically acceptable carrier thereof.

21. (Currently amended) A compound having the formula Ia:



or a pharmaceutically acceptable salt thereof, wherein

R<sup>1</sup> is (1) heteroaryl selected from benzothiadiazolyl, thienyl, imidazolyl, pyridyl and pyrazolyl optionally substituted with one to four substituents independently selected from R<sup>b</sup>; or (2) phenyl substituted at the 3-position optionally having a second substituent, wherein said substituents are independently selected from R<sup>b</sup>, and provided that said second substituent is other than CH=CHC(O)OR<sup>f</sup>;

R<sup>2</sup> is

- 1) hydrogen,
- 2) C<sub>1-10</sub>alkyl,
- 3) C<sub>2-10</sub>alkenyl,

- 4) C<sub>2</sub>-10alkynyl,
- 5) aryl,
- 6) aryl-C<sub>1</sub>-10alkyl,
- 7) heteroaryl,
- 8) heteroaryl-C<sub>1</sub>-10alkyl,

wherein alkyl, alkenyl, and alkynyl are optionally substituted with one to four substituents independently selected from R<sup>a</sup>; and aryl and heteroaryl optionally substituted with one to four substituents independently selected from R<sup>b</sup>;

- R<sup>3</sup> is
- 1) hydrogen,
  - 2) C<sub>1</sub>-10 alkyl,
  - 3) Cy, or
  - 4) Cy-C<sub>1</sub>-10 alkyl,

wherein alkyl is optionally substituted with one to four substituents independently selected from R<sup>a</sup>; and Cy is optionally substituted with one to four substituents independently selected from R<sup>b</sup>;

- R<sup>4</sup> is
- 1) hydrogen,
  - 2) C<sub>1</sub>-10alkyl,
  - 3) C<sub>2</sub>-10alkenyl,
  - 4) C<sub>2</sub>-10alkynyl,
  - 5) Cy,
  - 6) Cy-C<sub>1</sub>-10alkyl,
  - 7) Cy-C<sub>2</sub>-10alkenyl,
  - 8) Cy-C<sub>2</sub>-10alkynyl,

wherein alkyl, alkenyl and alkynyl are optionally substituted with one to four substituents selected from phenyl and R<sup>x</sup>, and Cy is optionally substituted with one to four substituents independently selected from R<sup>y</sup>; or

R<sup>3</sup>, R<sup>4</sup> and the atoms to which they are attached together form a mono- or bicyclic ring containing 0-2 additional heteroatoms selected from N, O and S;

- R<sup>5</sup> is
- 1) hydrogen,
  - 2) C<sub>1</sub>-10alkyl,
  - 3) C<sub>2</sub>-10alkenyl,

- 4) C<sub>2-10</sub>alkynyl,
- 5) aryl,
- 6) aryl-C<sub>1-10</sub>alkyl,
- 7) heteroaryl,
- 8) heteroaryl-C<sub>1-10</sub>alkyl,

wherein alkyl, alkenyl and alkynyl are optionally substituted with one to four substituents selected from R<sup>x</sup>, and aryl and heteroaryl are optionally substituted with one to four substituents independently selected from R<sup>y</sup>; or

R<sup>4</sup>, R<sup>5</sup> and the carbon to which they are attached form a 3-7 membered mono- or bicyclic ring containing 0-2 heteroatoms selected from N, O and S;

E<sub>1</sub> R<sup>6</sup> and R<sup>7</sup> are each independently selected from the group consisting of

- 1) a group selected from R<sup>d</sup>, and
- 2) a group selected from R<sup>x</sup>; or

R<sup>6</sup>, R<sup>7</sup> and the atom to which both are attached, or R<sup>6</sup>, R<sup>7</sup> and the two adjacent atoms to which they are attached, together form a 5-7 membered saturated or unsaturated monocyclic ring containing zero to three heteroatoms selected from N, O or S,

- R<sup>a</sup> is
- 1) Cy, or
  - 2) a group selected from R<sup>x</sup>;

wherein Cy is optionally substituted with one to four substituents independently selected from R<sup>c</sup>;

- R<sup>b</sup> is
- 1) a group selected from R<sup>a</sup>,
  - 2) C<sub>1-10</sub> alkyl,
  - 3) C<sub>2-10</sub> alkenyl,
  - 4) C<sub>2-10</sub> alkynyl,
  - 5) aryl C<sub>1-10</sub>alkyl,
  - 6) heteroaryl C<sub>1-10</sub> alkyl,

wherein alkyl, alkenyl, alkynyl, aryl, heteroaryl are optionally substituted with a group independently selected from R<sup>c</sup>;

- R<sup>c</sup> is
- 1) halogen,
  - 2) NO<sub>2</sub>,

- 81
- 3) C(O)OR<sup>f</sup>,
  - 4) C<sub>1-4</sub>alkyl,
  - 5) C<sub>1-4</sub>alkoxy,
  - 6) aryl,
  - 7) aryl C<sub>1-4</sub>alkyl,
  - 8) aryloxy,
  - 9) heteroaryl,
  - 10) NR<sup>f</sup>R<sub>g</sub>,
  - 11) NR<sup>f</sup>C(O)R<sub>g</sub>,
  - 12) NR<sup>f</sup>C(O)NR<sup>f</sup>R<sub>g</sub>, or
  - 13) CN;

R<sup>d</sup> and R<sup>e</sup> are independently selected from hydrogen, C<sub>1-10</sub>alkyl, C<sub>2-10</sub> alkenyl, C<sub>2-10</sub>alkynyl, Cy and Cy C<sub>1-10</sub>alkyl, wherein alkyl, alkenyl, alkynyl and Cy is optionally substituted with one to four substituents independently selected from R<sup>c</sup>; or

R<sup>d</sup> and R<sup>e</sup> together with the atoms to which they are attached form a heterocyclic ring of 5 to 7 members containing 0-2 additional heteroatoms independently selected from oxygen, sulfur and nitrogen;

R<sup>f</sup> and R<sub>g</sub> are independently selected from hydrogen, C<sub>1-10</sub>alkyl, Cy and Cy-C<sub>1-10</sub>alkyl wherein Cy is optionally substituted with C<sub>1-10</sub>alkyl; or

R<sup>f</sup> and R<sub>g</sub> together with the carbon to which they are attached form a ring of 5 to 7 members containing 0-2 heteroatoms independently selected from oxygen, sulfur and nitrogen;

- R<sup>i</sup>
- 1) C<sub>1-10</sub>alkyl,
  - 2) C<sub>2-10</sub>alkenyl,
  - 3) C<sub>2-10</sub>alkynyl, or
  - 4) aryl;

wherein alkyl, alkenyl, alkynyl and aryl are each optionally substituted with one to four substituents independently selected from R<sup>c</sup>;

- R<sup>x</sup> is
- 1) -OR<sup>d</sup>,
  - 2) -NO<sub>2</sub>,
  - 3) halogen

- 4)  $-S(O)_mR^d$ ,  
5)  $-SR^d$ ,  
6)  $-S(O)_2OR^d$ ,  
7)  $-S(O)_mNR^{dre}$ ,  
8)  $-NR^{dre}$ ,  
9)  $-O(CR^fR^g)_nNR^{dre}$ ,  
10)  $-C(O)R^d$ ,  
11)  $-CO_2R^d$ ,  
12)  $-CO_2(CR^fR^g)_nCONR^{dre}$ ,  
13)  $-OC(O)R^d$ ,  
14)  $-CN$ ,  
15)  $-C(O)NR^{dre}$ ,  
16)  $-NR^dC(O)R^e$ ,  
17)  $-OC(O)NR^{dre}$ ,  
18)  $-NR^dC(O)OR^e$ ,  
19)  $-NR^dC(O)NR^{dre}$ ,  
20)  $-CR^d(N-OR^e)$ ,  
21)  $-CF_3$ ,  
22) oxo,  
23)  $NR^dC(O)NR^dSO_2R^i$ ,  
24)  $NR^dS(O)_mR^e$ ,  
25)  $-OS(O)_2OR^d$ , or  
26)  $-OP(O)(OR^d)_2$ ;

E1  
RY is

- 1) a group selected from  $R^X$ ,
- 2) C1-10 alkyl,
- 3) C2-10 alkenyl,
- 4) C2-10 alkynyl,
- 5) aryl C1-10alkyl,
- 6) heteroaryl C1-10 alkyl,
- 7) cycloalkyl,
- 8) heterocyclyl;

wherein alkyl, alkenyl, alkynyl and aryl are each optionally substituted with one to four substituents independently selected from  $R^X$ ;

Cy is cycloalkyl, heterocyclyl, aryl, or heteroaryl;

m is an integer from 1 to 2;

n is an integer from 1 to 10;

61 X is  $-C(O)OR^d$ ;

Z is selected from -C- and -C-C-;

B is selected from the group consisting of

- 1) a bond,
- 2) -C-
- 3) -C-C-,
- 3) -C=C-,
- 4) a heteroatom selected from the group consisting of nitrogen, oxygen, and sulfur; and
- 5)  $-S(O)_m-$ ;

with the proviso that  $R^6/R^7$  is not oxo when attached to the carbon between N and B.

22. (Previously Added) A compound selected from the group consisting of:

- N-(2,4-dinitrobenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-(L)-norleucine;
- N-(2-mesitylenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-(L)-norleucine;
- N-(4-chlorobenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-(L)-norleucine;
- N-(N'-acetylsulfanilyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-(L)-norleucine;



N-(4-fluorobenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-(L)-norleucine;

N-(4-nitrobenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-(L)-norleucine;

N-(4-trifluoromethylbenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-(L)-norleucine;

N-(2,6-dichlorobenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-(L)-norleucine;

N-(2,4-difluorobenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-(L)-norleucine;

N-(2-cyanobenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-(L)-norleucine;

N-(2-trifluoromethylbenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-(L)-norleucine;

N-(2,4-dichlorobenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-(L)-norleucine;

N-(4-cyanobenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-(L)-norleucine;

N-(4-iodobenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-(L)-norleucine;]

N-(5-benzenesulfonyl-2-thiophenesulfonyl)-(L)-prolyl-(L)-O-tert-butyl-tyrosine;

N-(3-fluorobenzenesulfonyl)-(L)-thiaprolyl-(L)-O-tert-butyl-tyrosine;

N-(4-methoxybenzenesulfonyl)-(L)-prolyl-(L)-O-tert-butyl-tyrosine;

N-(1(R)-(+)-10-camphorsulfonyl)-(L)-prolyl-(L)-O-tert-butyl-tyrosine;

N-(1(S)-(+)-10-camphorsulfonyl)-(L)-prolyl-(L)-O-tert-butyl-tyrosine;

N-(4-(fluorescein-4-carboxylamino)benzenesulfonyl)-(L)-prolyl-(L)-O-tert-butyl-tyrosine;

N-(4-iodobenzenesulfonyl)-(L)-prolyl-(L)-4-benzoyl-phenylalanine;

N-(3-(6-(biotinylamino)-n-hexanoyl)-aminobenzenesulfonyl)-(L)-prolyl-(L)-O-tert-butyl-tyrosine;

N-(4-nitrobenzenesulfonyl)-(L)-prolyl-(L)-norleucine;

N-[4-(benzoylamino)benzenesulfonyl)-(L)-prolyl-(L)-norleucine;

N-(4-methoxy-3,5-dinitrobenzenesulfonyl)-(L)-prolyl-(L)-norleucine;

N-(4-N'-phenylureidobenzenesulfonyl)-(L)-prolyl-(L)-3-(2-naphthyl)alanine;  
N-(4-N'-(2-toluy)ureidobenzenesulfonyl)-(L)-prolyl-(L)-3-(2-naphthyl)alanine;  
N-(4-N'-benzylureidobenzenesulfonyl)-(L)-prolyl-(L)-3-(2-naphthyl)alanine;  
N-benzenesulfonyl-(L)-prolyl-2-amino-2-norbornanecarboxylic acid;  
N-benzenesulfonyl-(L)-prolyl-3(R)-methyl-phenylalanine;  
N-benzenesulfonyl-(L)-prolyl-(L)-2,3-methano-phenylalanine; and  
N-benzenesulfonyl-(L)-prolyl-(D)-2,3-methano-phenylalanine.

23. (Previously Added) A compound of Claim 21 selected from the group consisting of:

51  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-leucine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-arginine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-glutamic acid;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-glycine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-(1-naphthyl)alanine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)- $\alpha$ -t-butylglycine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-3-(2-thienyl)alanine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-cyclohexylalanine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-3-(2-naphthyl)alanine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-3,3-diphenylalanine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid;

51

N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-proline;  
N-(3,4-dimethylbenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-  
(L)-cysteine;  
N-(2,5-dichlorobenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-  
(L)-norleucine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-phenylalanine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-glutamine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-(4-nitrophenyl)alanine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-asparagine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-methionine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-homophenylalanine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(D)-norleucine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-(4-fluorophenyl)alanine;  
N-(3-toluenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-(L)-  
norleucine;  
N-(4-chloro-3-nitrobenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-norleucine;  
N-(3,5-dichlorobenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-  
(L)-norleucine;  
N-(3,4-dichlorobenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-norleucine;  
N-(2,3-dichlorobenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-  
(L)-norleucine;  
N-(2,5-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-norleucine;

81

N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-serine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-isoleucine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-tryptophan;  
N-(2,1,3-benzothiadiazole-4-sulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-tryptophan;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-3-(3-pyridyl)alanine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-3-(2-naphthyl)alanine, ethyl ester;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(R)-  
carbonyl-(D)-norleucine;  
N-(3-nitrobenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-(L)-  
norleucine;  
N-(3-trifluoromethylbenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-norleucine;  
N-(2-thienylsulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-carbonyl-(L)-  
norleucine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-N-methylleucine;  
N-(3,4-dimethoxybenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-3(S)-  
carbonyl-(L)-citrulline;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-(3-iodo)tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-3-(3-pyridyl)alanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-glutamic acid;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-arginine;  
N-(N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl)-1-amino-cyclopentane-1-  
carboxylic acid;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-3-(3,4-dichlorophenyl)alanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-3-(2-naphthyl)alanine, ethyl  
ester;

E1

N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-3-(4-bromophenyl)alanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-3-(4-nitrophenyl)alanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-3-(4-thiazolyl)alanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-3-(2-chlorophenyl)alanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-3-(4-chlorophenyl)alanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-3-(4-cyanophenyl)alanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-tyrosine, O-sulfate;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-3,5-diiodotyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-aspartic acid;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-tryptophan;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-methionine;  
N-(3,4-dimethoxybenzenesulfonyl)-(L)-prolyl-(L)-norleucine;  
N-(3,5-di(trifluoromethyl)benzenesulfonyl)-(L)-prolyl-(L)-3-(2-naphthyl)-  
alanine;  
N-(3,4-dimethoxybenzenesulfonyl)-(L)-thiaprolyl-(L)-3-(2-naphthyl)alanine;  
N-(3,4-dimethoxybenzenesulfonyl)-(L)-thiaprolyl-(L)-norleucine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-thiaprolyl-(L)-3-(2-naphthyl)alanine;  
N-(3,4-dimethoxybenzenesulfonyl)-(L)-pipecolyl-(L)-norleucine;  
N-(3,4-dimethoxybenzenesulfonyl)-(L)-pipecolyl-(L)-norleucine, ethyl ester;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-pipecolyl-(L)-homophenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-pipecolyl-(L)-(3-iodo)tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-pipecolyl-(L)-3-(2-naphthyl)alanine;  
N-[3,5-di(trifluoromethyl)benzenesulfonyl]-(L)-pipecolyl-(L)-3-(2-naphthyl)-  
alanine;  
N-(3,4-dimethoxybenzenesulfonyl)-(L)-pipecolyl-(L)-3-(2-naphthyl)alanine,  
ethyl ester;  
N-(3,4-dimethoxybenzenesulfonyl)-(L)-octahydroisoquinoline-3-carbonyl-(L)-  
norleucine;  
N-(3,4-dimethoxybenzenesulfonyl)-azetidine-2-carbonyl-(L)-norleucine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-4(S)-hydroxyprolyl-(L)-3-(2-naphthyl)-  
alanine;  
N-(3,4-dimethoxybenzenesulfonyl)-(L)-4(S)-hydroxyprolyl-(L)-norleucine;  
N-(3,4-dimethoxybenzenesulfonyl)-(L)-3,4-dehydroprolyl-(L)-norleucine;

61

N-(3-bis(N,N-benzenesulfonyl)aminobenzenesulfonyl)-(L)-prolyl-(L)-norleucine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-3-(4-pyridyl)alanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-4(R)-aminoprolyl-(L)-3-(2-naphthyl)-alanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-3,4-dehydroprolyl-(L)-4-fluorophenylalanine;  
N-(3-chlorobenzenesulfonyl)-(L)-prolyl-(L)-4-fluorophenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-4(R)-hydroxyprolyl-(L)-4-fluorophenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-thiaprolyl-(L)-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-thiaprolyl-(L)-3-iodotyrosine;  
N-(3-fluorobenzenesulfonyl)-(L)-thiaprolyl-(L)-3-(2-naphthyl)alanine;  
N-(3-fluorobenzenesulfonyl)-(L)-pipecolyl-(L)-3-(2-naphthyl)alanine;  
N-(3-fluorobenzenesulfonyl)-(L)-thiaprolyl-(L)-4-fluorophenylalanine;  
N-(3-fluorobenzenesulfonyl)-(L)-prolyl-(L)-4-fluorophenylalanine;  
N-(3-chlorobenzenesulfonyl)-(L)-3,4-dehydroprolyl-(L)-4-fluorophenylalanine;  
N-(3-fluorobenzenesulfonyl)-(L)-4(R)-hydroxyprolyl-(L)-4-fluorophenylalanine;  
N-(3-chlorobenzenesulfonyl)-(L)-4(R)-hydroxyprolyl-(L)-4-fluorophenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-pipecolyl-(L)-4-fluorophenylalanine;  
N-(3-fluorobenzenesulfonyl)-(L)-3,4-dehydroprolyl-(L)-tyrosine;  
N-(4,5-dichloro-2-thiophenesulfonyl)-(L)-prolyl-(L)-tyrosine;  
N-(3-fluorobenzenesulfonyl)-(L)-4(R)-hydroxyprolyl-(L)-tyrosine;  
N-(3-chlorobenzenesulfonyl)-(L)-4(R)-hydroxyprolyl-(L)-tyrosine;  
N-(3-fluorobenzenesulfonyl)-(L)-pipecolyl-(L)-4-fluorophenylalanine;  
N-(3-fluorobenzenesulfonyl)-(L)-4(R)-hydroxyprolyl-(L)-tyrosine, O-tert-butyl ether;  
N-(3-chlorobenzenesulfonyl)-(L)-4(R)-hydroxyprolyl-(L)-tyrosine, O-tert-butyl ether;  
N-(4,5-dichloro-2-thiophenesulfonyl)-(L)-3,4-dehydroprolyl-(L)-tyrosine  
N-(3,5-dichlorobenzenesulfonyl)-(L)-3(S)-methyl-prolyl-(L)-4-fluorophenylalanine;

E,  
N-(4,5-dichloro-2-thiophenesulfonyl)-(L)-3,4-dehydroprolyl-(L)-tyrosine;  
N-(3-fluorobenzenesulfonyl)-(L)-3,4-dehydroprolyl-(L)-tyrosine, O-tert-butyl  
ether;  
N-(3-chlorobenzenesulfonyl)-(L)-3,4-dehydroprolyl-(L)-tyrosine, O-tert-butyl  
ether;  
N-(3-chlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-fluorophenyl-  
alanine;  
N-(3-chlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-tyrosine;  
N-(3-chlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-tyrosine, O-tert-butyl  
ether;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-tyrosine;  
N-(3-fluorobenzenesulfonyl)-(L)-prolyl-(L)-3-iodotyrosine;  
N-(3-chlorobenzenesulfonyl)-(L)-prolyl-(L)-3-iodotyrosine;  
N-(3-fluorobenzenesulfonyl)-(L)-prolyl-(L)-3-phenylalanine;  
N-(3-chlorobenzenesulfonyl)-(L)-prolyl-(L)-phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-phenylalanine;  
N-(3-fluorobenzenesulfonyl)-(L)-4(R)-hydroxyprolyl-(L)-phenylalanine;  
N-(3-chlorobenzenesulfonyl)-(L)-4(R)-hydroxyprolyl-(L)-phenylalanine;  
N-(4,5-dichloro-2-thiophenesulfonyl)-(L)-3,4-dehydroprolyl-(L)-3-(4-pyridyl)-  
alanine;  
N-(4,5-dichloro-2-thiophenesulfonyl)-(L)-thiaprolyl-(L)-3-(4-pyridyl)alanine;  
N-(4,5-dichloro-2-thiophenesulfonyl)-(L)-3,4-dehydroprolyl-(L)-4-fluoro-  
phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-4(R)-hydroxyprolyl-(L)-phenylalanine;  
N-(3-trifluoromethylbenzenesulfonyl)-(L)-prolyl-(L)-4-fluorophenylalanine;  
N-(3-trifluoromethylbenzenesulfonyl)-(L)-thiaprolyl-(L)-4-fluorophenylalanine;  
N-(3-fluorobenzenesulfonyl)-(L)-3,4-dehydroprolyl-(L)-4-fluorophenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-tyrosine, O-phosphoric acid;  
N-(3-chlorobenzenesulfonyl)-(L)-4(R)-aminoprolyl-(L)-tyrosine;  
N-(4,5-dichloro-2-thiophenesulfonyl)-(L)-thiaprolyl-(L)-tyrosine;  
N-(N1-methyl-4-imidazolesulfonyl)-(L)-prolyl-(L)-4-fluorophenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(D)-prolyl-(D)-4-fluorophenylalanine;  
N-(4,5-dichloro-2-thiophenesulfonyl)-(L)-4(R)-aminoprolyl-(L)-3-(4-pyridyl)-  
alanine;

51

N-(5-(5-trifluoromethyl-2-pyridylsulfonyl)-2-thiophenesulfonyl)-(L)-prolyl-(L)-4-fluorophenylalanine;  
N-(5-(N-(4-chlorobenzoyl)aminomethyl))-2-thiophenesulfonyl)-(L)-prolyl-(L)-4-fluorophenylalanine;  
N-(5-(3-(1-methyl-5-trifluoromethyl-pyrazoyl))-2-thiophenesulfonyl)-(L)-prolyl-(L)-4-fluorophenylalanine;  
N-(3-fluorobenzenesulfonyl)-2(S)-methylprolyl-(L)-O-tert-butyl-tyrosine;  
N-(3-fluorobenzenesulfonyl)-(L)-4(R)-aminoprolyl-(L)-4-fluorophenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-4(R)-aminoprolyl-(L)-4-fluorophenylalanine;  
N-(3-chlorobenzenesulfonyl)-(L)-4(R)-aminoprolyl-(L)-4-fluorophenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-4(S)-aminoprolyl-(L)-4-fluorophenylalanine;  
N-(3-chlorobenzenesulfonyl)-(L)-thiaprolyl-(L)-4-fluorophenylalanine;  
N-(4-bromo-5-chloro-2-thiophenesulfonyl)-(L)-prolyl-(L)-4-fluorophenylalanine;  
N-(4,5-dichloro-2-thiophenesulfonyl)-(L)-prolyl-(L)-4-fluorophenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-thiaprolyl-(L)-3,5-diiodotyrosine;  
N-(5-benzoylaminomethyl-2-thiophenesulfonyl)-(L)-prolyl-(L)-4-fluorophenylalanine;  
N-(3-chlorobenzenesulfonyl)-(L)-prolyl-(L)-O-tert-butyl-tyrosine;  
N-(5-benzenesulfonyl-2-thiophenesulfonyl)-(L)-prolyl-(L)-4-fluorophenylalanine;  
N-(3-bromo-5-chloro-2-thiophenesulfonyl)-(L)-prolyl-(L)-4-fluorophenylalanine;  
N-(3-chlorobenzenesulfonyl)-(L)-3,4-dehydroprolyl-(L)-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-homophenylalanine;  
N-(4-benzenesulfonyl-2-thiophenesulfonyl)-(L)-prolyl-(L)-O-tert-butyl-tyrosine;  
N-(5-benzoylaminomethyl-2-thiophenesulfonyl)-(L)-prolyl-(L)-O-tert-butyl-tyrosine;  
N-(5-benzenesulfonyl-2-thiophenesulfonyl)-(L)-prolyl-(L)-O-tert-butyl-tyrosine;  
N-(3-fluorobenzenesulfonyl)-(L)-thiaprolyl-(L)-O-tert-butyl-tyrosine;



51

N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-cysteine, amide;  
N-(1-methyl-4-imidazolylsulfonyl)-(L)-prolyl-(L)-O-tert-butyl-tyrosine;  
N-(5-(4-trifluoromethylbenzenesulfonyl)-2-thiophenesulfonyl)-(L)-prolyl-(L)-O-tert-butyl-tyrosine;  
N-(3-bromobenzenesulfonyl)-(L)-prolyl-(L)-O-tert-butyl-tyrosine;  
N-(4,5-dichloro-2-thiophenesulfonyl)-(L)-prolyl-(L)-3-fluorophenylalanine;  
N-(5-chloro-2-thiophenesulfonyl)-(L)-prolyl-(L)-4-fluorophenylalanine;  
N-(3-chlorobenzenesulfonyl)-(L)-thiaprolyl-(L)-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methylprolyl-(L)-O-tert-butyl-tyrosine;  
N-(3-chlorobenzenesulfonyl)-(L)-4(R)-hydroxyprolyl-(L)-tyrosine-O-sulfate;  
N-(3-chlorobenzenesulfonyl)-(L)-thiaprolyl-(L)-tyrosine-O-sulfate;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-cysteine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-N-methyl-isoleucine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-4(R)-aminoprolyl-(L)-O-tert-butyl-tyrosine;  
N-(3-chlorobenzenesulfonyl)-(L)-4(R)-aminoprolyl-(L)-O-tert-butyl-tyrosine;  
N-(3-cyanobenzenesulfonyl)-(L)-prolyl-(L)-tyrosine;  
N-(4,5-dichloro-2-thiophenesulfonyl)-(L)-4(R)-aminoprolyl-(L)-O-tert-butyl-tyrosine;  
N-(4,5-dichloro-2-thiophenesulfonyl)-(L)-4(R)-aminoprolyl-(L)-4-fluorophenylalanine;  
N-(3-fluorobenzenesulfonyl)-(L)-5(R)-phenyl-prolyl-(L)-4-fluorophenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-3(R)-phenyl-prolyl-(L)-4-iodophenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-1,2,3,4-tetrahydroisoquinoline-1-carbonyl-(L)-4-fluorophenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-1,3-dihydro isoindolyl-1-carbonyl-(L)-4-fluorophenylalanine;  
N-(3-ethoxycarbonyl-benzenesulfonyl)-(L)-prolyl-(L)-O-tert-butyl-tyrosine;  
N-(3-(4-benzophenonyl-carbonylamino)-benzenesulfonyl)-(L)-prolyl-(L)-O-tert-butyl-tyrosine;

E1

N-(3,5-dichlorobenzenesulfonyl)-[3.1.0]-3-azabicyclohexane-2-carbonyl-(L)-4-fluorophenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-3-(2-naphthyl)alanine;  
N-(3,4-dimethoxybenzenesulfonyl)-(L)-pipecolyl-(L)-tryptophan;  
N-[3,5-di(trifluoromethyl)benzenesulfonyl]-(L)-prolyl-(L)-norleucine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-norleucine;  
N-(3-trifluoromethylbenzenesulfonyl)-(L)-prolyl-(L)-norleucine;  
N-(3-chlorobenzenesulfonyl)-(L)-prolyl-(L)-norleucine;  
N-(3-trifluoromethylbenzenesulfonyl)-(L)-prolyl-(L)-3-(2-naphthyl)alanine;  
N-(3-nitrobenzenesulfonyl)-(L)-prolyl-(L)-norleucine;  
N-(3-cyanobenzenesulfonyl)-(L)-prolyl-(L)-norleucine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-tryptophan;  
N-(3-methylbenzenesulfonyl)-(L)-prolyl-(L)-norleucine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-3(S)-methyl-prolyl-(L)-3-(2-naphthyl)alanine;  
N-(3-chlorobenzenesulfonyl)-(L)-prolyl-(L)-3-(2-naphthyl)alanine;  
N-(3-fluorobenzenesulfonyl)-(L)-prolyl-(L)-3-(2-naphthyl)alanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-2-methyl-prolyl-(L)-3-(2-naphthyl)-alanine;  
N-(3-fluorobenzenesulfonyl)-(L)-5,5-dimethyl-prolyl-(L)-3-(2-naphthyl)-alanine;  
N-(3-fluorobenzenesulfonyl)-(L)-prolyl-(L)-4-iodophenylalanine;  
N-(3-fluorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-fluorophenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-fluorophenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-phenylalaninamide-N-methylsulfonamide;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-iodophenylalanine;  
N-(3-fluorobenzenesulfonyl)-(L)-prolyl-(L)-phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-5-methylprolyl-(L)-4-fluorophenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-3-phenylazetidiny carbonyl-(L)-4-fluorophenylalanine;

51

N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-allylprolyl-(L)-4-fluorophenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-phenylalanine;  
N-(3-trifluoromethylbenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-nitro-phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-3(R)-methyl-prolyl-(L)-4-fluorophenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-cyanophenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-(aminocarbonyl)-phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-3(R)-methyl-prolyl-(L)-4-(N-t-butoxycarbonylaminomethyl)-phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-3(R)-methyl-prolyl-(L)-4-(aminomethyl)-phenylalanine;  
N-(3-trifluoromethylphenylsulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-acetaminophenylalanine;  
N-(3-trifluoromethylphenylsulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-(N'-(2-toluy)ureido)phenylalanine;  
N-(3-trifluoromethylphenylsulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-(N'-(4'-fluorophenylsulfonyl)ureido)phenylalanine;  
N-(3-trifluoromethylphenylsulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-(ethoxycarbonyl)aminophenylalanine;  
N-(3-trifluoromethylphenylsulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-(4'-(N'-(2-toluy)ureido)phenylacetyl)aminophenylalanine;  
N-(3-trifluoromethylphenylsulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-(4'-fluorophenylsulfonyl)aminophenylalanine;  
N-(3-trifluoromethylphenylsulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-(phenylacetyl)aminophenylalanine;  
N-(3-trifluoromethylphenylsulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-(4'-fluorobenzoyl)aminophenylalanine;  
N-(3-trifluoromethylphenylsulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-(isobutyloxycarbonyl)aminophenylalanine;

51

N-(3-trifluoromethylphenylsulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-methylsulfonylaminophenylalanine;  
N-(3-trifluoromethylphenylsulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-(N'-(4-fluorophenyl)ureido)phenylalanine;  
N-(3-trifluoromethylbenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-(N-(1,1-dioxo-1,2-isothiazolidinyl))-phenylalanine;  
N-(3-trifluoromethylphenylsulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-(N'-(4-(2-oxo-1-pyrrolidinyl))-phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-4-(4'-fluorobenzoyl)phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-4'-(2-methoxybenzoyl)phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-(4'-fluorobenzoyl)phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-4-(4-fluorobenzyl)phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-4-(2-methoxybenzyl)phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-4-(2-nitrophenoxy)-phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-4-(4-nitrophenoxy)-phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-(2-nitrophenoxy)-phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-4-(2-aminophenoxy)-phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-4-(2-acetylaminophenoxy)-phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-4-(4-acetylaminophenoxy)-phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methylprolyl-(L)-4-(2-acetylaminophenoxy)-phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-2-(S)-methyl-(L)-prolyl-4-(2-cyanophenoxy)-phenylalanine;

E1

N-(3,5-dichlorobenzenesulfonyl)-2-(S)-methyl-(L)-prolyl-4-(4-cyanophenoxy)-phenylalanine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-O-tert-butyl-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-O-methyl-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-O-benzyl-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-O-n-butyl-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-O-cyanomethyl-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-O-(2-methoxyethyl)-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-O-(2-ethoxyethyl)-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-O-(1-pyrrolidinylcarbonyl)-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-O-(tert-butyl acetate)-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-O-(4-morpholinyl-carbonyl)-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-O-(1-(2-propanonyl)-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-O-(1-pyrrolidinylcarbonyl)-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-O-(tert-butyl acetate)-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-O-(2-ethoxyethyl)-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-O-(acetic acid)-tyrosine, methyl ester;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-O-(acetic acid)-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-O-(1-(2-propanonyl)-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-O-(1-pyrrolidinylcarbonyl)-tyrosine, methyl ester;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-O-(4-morpholinyl-carbonyl)-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-O-(2-pyrrolylcarbonyl)-tyrosine;  
N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-O-(N-phenyl-N-methylaminocarbonyl)-tyrosine;

51  
N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-O-(N,N-diethyl-aminocarbonyl)-tyrosine;

N-(3-chlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-O-(4-morpholinyl-carbonyl)-tyrosine;

N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-O-(N,N-diisopropyl-aminocarbonyl)-tyrosine;

N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-O-(benzoyl)-tyrosine;

N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-O-(cyclopentanoyl)-tyrosine;

N-(3,5-dichlorobenzenesulfonyl)-(L)-prolyl-(L)-O-(5-tetrazolyl)methyl-tyrosine;

N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-N<sup>E</sup>-benzyl-histidine; and

N-(3,5-dichlorobenzenesulfonyl)-(L)-2(S)-methyl-prolyl-(L)-4-(5-((1H,3H)-1,3-dimethylpyrimidine-2,4-dione))-phenylalanine.

---